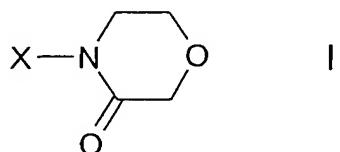


Patent Claims

1. Process for the preparation of compounds of the formula I

5

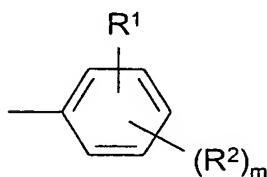


10

in which

X

denotes



15

R¹denotes NO₂, CN, COOR³, CON(R³)₂, COR³, SO₂R⁴, SO₂N(R³)₂, CF₃, F or Cl,R²denotes H, Hal, A, OR³, N(R³)₂, NO₂, CN, COOR³, CON(R³)₂, NR³COA, NR³CON(R³)₂, NR³COOR³, NR³SO₂A, -[C(R⁵)₂]_n-Ar, -[C(R⁵)₂]_n-Het, -[C(R⁵)₂]_n-cycloalkyl, COR³, SO₂N(R³)₂ or SO₂R⁴,R³denotes H, A, -[C(R⁵)₂]_n-Ar or -[C(R⁵)₂]_n-Het,R⁴denotes A, -[C(R⁵)₂]_n-Ar or -[C(R⁵)₂]_n-Het,R⁵

denotes H or A',

Ar

denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR⁵, N(R⁵)₂, NO₂, CN, COOR⁵, CON(R⁵)₂, NR⁵COA, NR⁵SO₂A, COR⁵, SO₂N(R⁵)₂ or S(O)_nA,

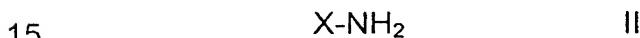
30

Het

denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR⁵, N(R⁵)₂, NO₂, CN, COOR⁵, CON(R⁵)₂, NR⁵COA, NR⁵SO₂A, COR⁵, SO₂N(R⁵)₂, S(O)_nA and/or carbonyl oxygen (=O),

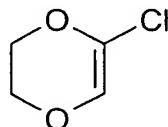
35

A' denotes unbranched or branched alkyl having 1-6 C atoms,
 5 A denotes unbranched, branched or cyclic alkyl having 1-12 C atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by $-\text{CH}=\text{CH}-$ groups and/or in addition 1-7 H atoms may be replaced by F,
 Hal denotes F, Cl, Br or I,
 10 n denotes 0, 1 or 2,
 m denotes 0, 1, 2, 3 or 4,
 and salts thereof, characterised in that
 a) a compound of the formula II

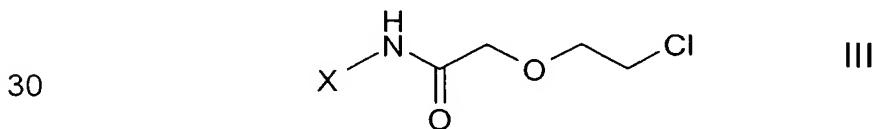


in which
 X has the meaning indicated above,

20 is reacted with 5-chloro-2,3-dihydro-1,4-dioxin



25 to give a compound of the formula III



in which
 X has the meaning indicated above,

35 b) then a compound of the formula III is cyclised to give a compound of the formula I,

and

5 c) the latter is optionally converted into its salt
by converting a base or acid of the formula I into one of its salts.

2. Process according to Claim 1 for the preparation of compounds of
the formula I in which

10 R^1 denotes NO_2 , CN, $COOR^3$, COR^3 or Cl,

R^2 denotes H, Hal or A,

and salts thereof.

15 3. Process according to Claim 1 for the preparation of compounds of
the formula I in which

R^1 denotes NO_2 , CN, $COOR^3$, $CON(R^3)_2$, COR^3 , SO_2R^4 ,
 $SO_2N(R^3)_2$, CF_3 , F or Cl,

20 R^2 denotes H, Hal or A,

R^3 denotes H, A, $-[C(R^5)_2]_n-Ar$ or $-[C(R^5)_2]_n-Het$,

and salts thereof.

25 4. Process according to Claim 1, 2 or 3 for the preparation of com-
pounds of the formula I in which

Ar denotes phenyl,

and salts thereof.

30 5. Process according to one or more of Claims 1-4 for the preparation of
compounds of the formula I in which

R^4 denotes A,

and salts thereof.

35 6. Process according to one or more of Claims 1-5 for the preparation of
compounds of the formula I in which

5 R¹ denotes NO₂, CN, COOR³, CON(R³)₂, COR³, CF₃, F or Cl,
R² denotes H, Hal or A',
R³ denotes H, A' or -[C(R⁵)₂]_n-Ar,
Ar denotes phenyl,
R⁵ denotes H or A',
A' denotes unbranched or branched alkyl having 1-6 C atoms,
10 Hal denotes F, Cl, Br or I,
n denotes 0, 1 or 2,
and salts thereof.

15 7. Process according to one or more of Claims 1-6 for the preparation of compounds of the formula I, in which the amine of the formula II has a pK_a value ≤ 3.

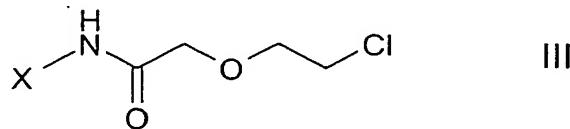
20 8. Process according to one or more of Claims 1-7, in which process steps a) and b) are carried out as a one-pot reaction.

25 9. Process according to one or more of Claims 1-8, in which process step a) is carried out at a temperature between 0 and 150°C.

10. Process according to Claim 9, in which process step a) is carried out at a temperature between 70 and 90°C.

30 11. Process according to one or more of Claims 1-10, in which the cyclisation is carried out in an inert solvent or solvent mixture, in the presence of an alkali or alkaline earth metal hydroxide, carbonate or bicarbonate.

12. Process according to one or more of Claims 1-11, in which the cyclisation is carried out in the presence of caesium carbonate or potassium carbonate.
13. Process according to one or more of Claims 1-12, in which the process is carried out as a one-pot reaction in acetonitrile.
14. Process according to one or more of Claims 1-13 for the preparation of compounds selected from the group
 - 4-(4-nitrophenyl)-3-oxomorpholine,
 - 4-(3-nitrophenyl)-3-oxomorpholine,
 - 4-(2-nitrophenyl)-3-oxomorpholine,
 - 2-methyl-4-(4-nitrophenyl)-3-oxomorpholine,
 - 4-(4-methoxycarbonylphenyl)-3-oxomorpholine,
 - 4-(4-benzoylphenyl)-3-oxomorpholine,and salts thereof.
15. Intermediate compounds of the formula III



in which

X denotes 

R^1 denotes NO_2 or CN .

R^2 denotes H, Hal, A, OR^3 , $N(R^3)_2$, NO_2 , CN, $COOR^3$, $CON(R^3)_2$, NR^3COA , $NR^3CON(R^3)_2$, NR^3COOR^3 , NR^3SO_2A , $-(C(R^5)_2)_n-Ar$, $-(C(R^5)_2)_n-Het$, $-(C(R^5)_2)_n$ -cycloalkyl, COR^3 , $SO_2N(R^3)_2$ or SO_2R^4 .

	R^3	denotes H, A, $-[C(R^5)_2]_n-Ar$ or $-[C(R^5)_2]_n-Het$,
	R^4	denotes A, $-[C(R^5)_2]_n-Ar$ or $-[C(R^5)_2]_n-Het$,
	R^5	denotes H or A',
5	Ar	denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal, A, OR^5 , $N(R^5)_2$, NO_2 , CN, $COOR^5$, $CON(R^5)_2$, NR^5COA , NR^5SO_2A , COR^5 , $SO_2N(R^5)_2$ or $S(O)_nA$,
10	Het	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR^5 , $N(R^5)_2$, NO_2 , CN, $COOR^5$, $CON(R^5)_2$, NR^5COA , NR^5SO_2A , COR^5 , $SO_2N(R^5)_2$, $S(O)_nA$ and/or carbonyl oxygen (=O),
15	A'	denotes unbranched or branched alkyl having 1-6 C atoms,
20	A	denotes unbranched, branched or cyclic alkyl having 1-12 C atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or by $-CH=CH-$ groups and/or in addition 1-7 H atoms may be replaced by F, Hal
25	n	denotes 0, 1 or 2,
	m	denotes 0, 1, 2, 3 or 4, and salts thereof.

16. Intermediate compounds according to Claim 15 in which

30	R^1	denotes NO_2 or CN,
	R^2	denotes H, Hal or A,
		and salts thereof.

17. Intermediate compounds according to Claim 15, in which

35	R^1	denotes NO_2 or CN,
	R^2	denotes H, Hal or A,

R^3 denotes H, A, $-[C(R^5)_2]_n-Ar$ or $-[C(R^5)_2]_n-Het$,
and salts thereof.

5 18. Intermediate compounds according to Claim 15, 16 or 17 in which
Ar denotes phenyl,
and salts thereof.

10 19. Intermediate compounds according to one or more of Claims 15-18 in
which

R^4 denotes A,
and salts thereof.

15 20. Intermediate compounds according to one or more of Claims 15-19 in
which

R^1 denotes NO_2 or CN,

R^2 denotes H, Hal or A',

20 R^3 denotes H, A' or $-[C(R^5)_2]_n-Ar$,

Ar denotes phenyl,

R^5 denotes H or A',

A' denotes unbranched or branched alkyl having 1-6 C
atoms,

25 Hal denotes F, Cl, Br or I,

n denotes 0, 1 or 2,

m denotes 0, 1 or 2,

and salts thereof.

30 21. Intermediate compounds according to Claim 20 in which

R^1 denotes NO_2 ,

R^2 denotes H, Hal or A',

35 R^3 denotes H, A' or $-[C(R^5)_2]_n-Ar$,

Ar denotes phenyl,

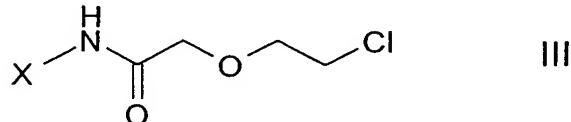
R^5 denotes H or A',

5 A' denotes unbranched or branched alkyl having 1-6 C atoms,
 Hal denotes F, Cl, Br or I,
 n denotes 0, 1 or 2,
 m denotes 0, 1 or 2,
 and salts thereof.

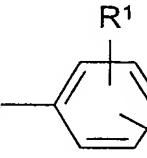
10 22. Process for the preparation of intermediate compounds of the formula III

15

in which



20

X denotes 

25

R¹ denotes NO_2 , CN , COOR^3 , $\text{CON}(\text{R}^3)_2$, COR^3 , SO_2R^4 , $\text{SO}_2\text{N}(\text{R}^3)_2$, CF_3 , F or Cl ,
 R² denotes H , Hal , A , OR^3 , $\text{N}(\text{R}^3)_2$, NO_2 , CN , COOR^3 , $\text{CON}(\text{R}^3)_2$, NR^3COA , $\text{NR}^3\text{CON}(\text{R}^3)_2$, NR^3COOR^3 , $\text{NR}^3\text{SO}_2\text{A}$, $-\text{[C}(\text{R}^5)_2\text{n}-\text{Ar}$, $-\text{[C}(\text{R}^5)_2\text{n}-\text{Het}$, $-\text{[C}(\text{R}^5)_2\text{n}-$ cycloalkyl, COR^3 , $\text{SO}_2\text{N}(\text{R}^3)_2$ or SO_2R^4 ,

30

R³ denotes H , A , $-\text{[C}(\text{R}^5)_2\text{n}-\text{Ar}$ or $-\text{[C}(\text{R}^5)_2\text{n}-\text{Het}$,

R⁴ denotes A , $-\text{[C}(\text{R}^5)_2\text{n}-\text{Ar}$ or $-\text{[C}(\text{R}^5)_2\text{n}-\text{Het}$,

R⁵ denotes H or A' ,

35

Ar denotes phenyl which is unsubstituted or mono-, di- or trisubstituted by Hal , A , OR^5 , $\text{N}(\text{R}^5)_2$, NO_2 , CN , COOR^5 , $\text{CON}(\text{R}^5)_2$, NR^5COA , $\text{NR}^5\text{SO}_2\text{A}$, COR^5 , $\text{SO}_2\text{N}(\text{R}^5)_2$ or $\text{S}(\text{O})_n\text{A}$,

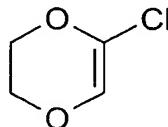
	Het	denotes a mono- or bicyclic saturated, unsaturated or aromatic heterocycle having 1 to 4 N, O and/or S atoms which is unsubstituted or mono- or disubstituted by Hal, A, OR ⁵ , N(R ⁵) ₂ , NO ₂ , CN, COOR ⁵ , CON(R ⁵) ₂ , NR ⁵ COA, NR ⁵ SO ₂ A, COR ⁵ , SO ₂ N(R ⁵) ₂ , S(O) _n A and/or carbonyl oxygen (=O),
5	A'	denotes unbranched or branched alkyl having 1-6 C atoms,
10	A	denotes unbranched, branched or cyclic alkyl having 1-12 C atoms, in which one or two CH ₂ groups may be replaced by O or S atoms and/or by -CH=CH- groups and/or in addition 1-7 H atoms may be replaced by F, Cl, Br or I,
15	Hal	denotes F, Cl, Br or I,
	n	denotes 0, 1 or 2,
	m	denotes 0, 1, 2, 3 or 4,
		and salts thereof, characterised in that
20	a)	a compound of the formula II



in which

X has the meaning indicated above,

25 is reacted with 5-chloro-2,3-dihydro-1,4-dioxin



and

the compound of the formula III is optionally converted into its salt.

35 23. Process according to Claim 22 for the preparation of intermediate compounds of the formula III

in which

5 R^1 denotes NO_2 or CN ,

R^2 denotes H , Hal , A , OR^3 , $N(R^3)_2$, NO_2 , CN , $COOR^3$, $CON(R^3)_2$,
 NR^3COA , $NR^3CON(R^3)_2$, NR^3COOR^3 , NR^3SO_2A ,
 $-[C(R^5)_2]_n-Ar$, $-[C(R^5)_2]_n-Het$, $-[C(R^5)_2]_n-cycloalkyl$, COR^3 ,
 $SO_2N(R^3)_2$ or SO_2R^4 ,

R^3 denotes H , A , $-[C(R^5)_2]_n-Ar$ or $-[C(R^5)_2]_n-Het$,

R^4 denotes A , $-[C(R^5)_2]_n-Ar$ or $-[C(R^5)_2]_n-Het$,

R^5 denotes H or A' ,

Ar denotes phenyl which is unsubstituted or mono-, di- or
 trisubstituted by Hal , A , OR^5 , $N(R^5)_2$, NO_2 , CN , $COOR^5$,
 $CON(R^5)_2$, NR^5COA , NR^5SO_2A , COR^5 , $SO_2N(R^5)_2$ or $S(O)_nA$,

Het denotes a mono- or bicyclic saturated, unsaturated or aro-
 matic heterocycle having 1 to 4 N , O and/or S atoms which is
 unsubstituted or mono- or disubstituted by Hal , A , OR^5 ,
 $N(R^5)_2$, NO_2 , CN , $COOR^5$, $CON(R^5)_2$, NR^5COA , NR^5SO_2A ,
 COR^5 , $SO_2N(R^5)_2$, $S(O)_nA$ and/or carbonyl oxygen ($=O$),

A' denotes unbranched or branched alkyl having 1-6 C atoms,

A denotes unbranched, branched or cyclic alkyl having 1-12 C
 atoms, in which one or two CH_2 groups may be replaced by O
 or S atoms and/or by $-CH=CH-$ groups and/or in addition 1-7
 H atoms may be replaced by F ,

Hal denotes F , Cl , Br or I ,

n denotes 0, 1 or 2,

m denotes 0, 1, 2, 3 or 4.

30

24. Process according to Claim 23 for the preparation of intermediate
 compounds of the formula III

in which

35 R^1 denotes NO_2 or CN ,

R^2 denotes H , Hal or A .

25. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

5 R¹ denotes NO₂ or CN,

 R² denotes H, Hal or A,

 R³ denotes H, A, -[C(R⁵)₂]_n-Ar or -[C(R⁵)₂]_n-Het.

26. Process according to Claim 23 for the preparation of intermediate 10 compounds of the formula III

in which

 Ar denotes phenyl.

15 27. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

 R⁴ denotes A.

20 28. Process according to Claim 23 for the preparation of intermediate compounds of the formula III

in which

25 R¹ denotes NO₂ or CN,

 R² denotes H, Hal or A',

 R³ denotes H, A' or -[C(R⁵)₂]_n-Ar,

 Ar denotes phenyl,

 R⁵ denotes H or A',

30 A' denotes unbranched or branched alkyl having 1-6 C atoms,

 Hal denotes F, Cl, Br or I,

 n denotes 0, 1 or 2,

 m denotes 0, 1 or 2.